AMENDMENTS TO THE CLAIMS

1.-48. (Cancelled)

49. (Currently amended) A compound of general formula I

wherein

R₁ is halogen, hydroxy, mercapto, trifluoromethyl, amino, C₁₋₄alkyl, C₂₋₄alkenyl, C₂₋₄alkynyl, C₁₋₄alkoxy, C₁₋₄ alkylthio, C₁₋₆alkylamino, C₁₋₄alkoxy, C₁₋₄ alkylthio, C₁₋₆alkylamino, C₁₋₄alkoxycarbonyl, cyano, -CONH₂ or nitro;

R₂ is halogen, hydroxy, mercapto, trifluoromethyl, amino, C₁₋₄alkyl, C₂₋₄alkenyl, C₂₋₄alkynyl, C₁₋₄alkoxy, C₁₋₄alkylthio, C₁₋₄alkylamino, C₁₋₄alkoxycarbonyl, cyano, -CONH₂, phenyl or nitro;

R₃ represents fluoro one or more, same or different substituents selected from the group consisting of hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, cyano, carboxy, CONH₂, nitro, C₁₋₄alkyl, C₂₋₄alkenyl, C₂₋₄alkynyl, C₁₋₄alkoxy, C₁₋₄alkylthio, C₁₋₄alkoxycarbonyl; R₄ is fluoro;

wherein R₃ is in the meta position with respect to R₄ and para with respect to -NH;

Ra, Rb, and Rc are the same or different, each representing hydrogen, C₁₋₄alkyl, C₂₋₄alkenyl, C₂₋₄ alkynyl, C₃₋₈carbocyclyl, C₁₋₁₂heterocyclyl or aryl, each of C₁₋₄alkyl, C₂₋₄alkenyl, C₂₋₄ alkynyl,

 C_{3-8} carbocyclyl, C_{1-12} heterocyclyl or aryl being optionally substituted by one or more, same or different substituents represented by R_7 ;

 R_7 is halogen, hydroxy, mercapto, trifluoromethyl, amino, C_{14} alkyl, $C_{1.6}$ hydroxyalkyl, $C_{1.4}$ alkoxy, $C_{1.4}$ alkoxy, $C_{1.4}$ alkoxy, $C_{1.4}$ alkoxy, $C_{1.4}$ alkoxyarbonyl, $C_{1.9}$ trialkylammonium in association with an anion, cyano, azido, nitro, $-S(O)_2NH_2$, $-S(O)_2NR_3R_6$, $-S(O)_2R$, -COOH, $-CONH_2$, $-NR_3C(O)R^*$, $-CONHR^*$ or $-CONRR^*$, wherein R and R* are same or different, each representing hydrogen or $C_{1.4}$ alkyl:

one of R_5 and R_6 is -COOH, -C(O)NHOH, $-C(O)NHNH_2$, Y_2R_9 , $Y_2R_9Y_3R_{10}$, C_{1-6} alkyl- Y_2R_9 , C_{1-6} alkyl- Y_3R_{10} , $Y_2R_9-C_{1-6}$ -alkyl- Y_3R_{10} , $Y_2R_9-C_{2-6}$ -alkenyl- Y_3R_{10} , C_{3-12} carbocyclyl- Y_2R_9 , C_{3-12} carbocyclyl- $Y_2R_9Y_3R_{10}$, C_{1-12} heterocyclyl- Y_2R_9 , Y_3R_{10} , C_{3-12} carbocyclyl- C_{1-6} -alkyl- Y_2R_9 , C_{3-12} carbocyclyl- C_{1-6} -alkyl- Y_2R_9 , Y_3R_{10} , C_{3-12} carbocyclyl- C_{1-6} -alkyl- Y_2R_9 , C_{1-12} heterocyclyl- C_{1-6} -alkyl- Y_2R_9 , Y_3R_{10} , C_{3-12} carbocyclyl- C_{1-6} -alkyl- Y_3R_{10} , C_{1-12} heterocyclyl- C_{1-10} alkyl- C_{3-12} carbocyclyl- C_{1-10} alkyl, C_{3-12} carbocyclyl- C_{1-10} alkyl, C_{3-12} carbocyclyl, C_{1-10} alkyl, C_{3-12} carbocyclyl, C_{1-10} alkyl, C_{3-12} carbocyclyl, C_{3-12} carbocyclyl, each of which being optionally substituted by one or more, same or different substituents represented by R_7 , and the other is hydrogen, halogen, hydroxy, mercapto, trifluoromethyl, amino, C_{1-4} alkyl, C_{2-4} alkenyl, C_{2-4} alkynyl, C_{1-4} alkylthio, C_{1-6} alkylamino, C_{1-4} alkoxycarbonyl, cyano, -CONH2 or nitro.

with the proviso that when R_5 or R_6 is phenyl, C_{1-5} alkyl or C_{2-3} alkenyl, said R_5 or R_6 is substituted by one or more, same or different substituents represented by R_7 (except three fluorine when R_5 or R_6 is methyl),

with the further provise that when Rs or R6 is COOH, R2 cannot be nitro,

$$\begin{split} &Y_2 \text{ is -O-, -S-, -S(O)-, -S(O)_2-, -NR_{a^*}, -NR_{a}C(O)NR_{b^*}, -NR_{a}C(O)-, -C(O)NR_{a^*}, -C(O)NR_{a}O-, -C(O)-, -NR_{a}C(O)O-, -NR_{a}S(O)_2-, -OC(O)-, -C(O)O-, -C(O)NR_{a}NR_{b}C(S)NR_{c^*}, -C(O)NR_{a}NR_{b^*}, \\ &\text{or -S(O)_2NR_{a^*};} \end{split}$$

$$\begin{split} R_9 &\ is\ C_{1-10} alkyl-C_{1-12} heterocyclyl,\ C_{1-10} alkyl-C_{2-12} carbocyclyl,\ C_{1-10} alkynyl,\ C_{2-10} alkynyl,\ C_{3-12} carbocyclyl,\ C_{1-12} heterocyclyl,\ C_{3-12} carbocyclyl-C_{1-10} alkyl,\ or\ C_{1-12} heterocyclyl-C_{1-10} alkyl,\ or\ C_{1-12} heterocyclyl-C_{1-10} alkyl,\ c_{3-6} carbocyclyl-C_{1-6} alkenyl,\ C_{3-6} carbocyclyl-C_{2-6} alkynyl,\ each\ being\ optionally\ substituted\ by\ one\ or\ more,\ same\ or\ different\ substituents\ represented\ by\ R_7, \end{split}$$

with the proviso that when Y_2 is -O-, $-NR_{a^*}$, -S- or -C(O)O-, and R_9 is C_{1-6} alkyl, said C_{1-6} alkyl is substituted by one or more, same or different substituents represented by R_7 ;

 Y_3 is -O-, -S-, -S(O)-, -S(O)2-, -NR_a-, -NR_a-(O)NR_b-, -NR_aC(O)-, -C(O)NR_a-, -C(O)NR_aO-, -C(O)-, -NR_aC(O)O-, -NR_aS(O)2-, -OC(O)- or -C(O)O-;

 R_{10} is C_{1-10} alkyl- C_{1-12} heterocyclyl, C_{1-10} alkyl- C_{3-12} carbocyclyl, C_{1-10} alkyl, C_{2-10} alkynyl, C_{3-12} carbocyclyl or C_{1-12} heterocyclyl, each being optionally substituted by one or more, same or different substituents represented by R_7 ;

or, when one of R_3 or R_6 is the group $-C(O)NR_aR_9$, R_a and R_9 together with the nitrogen atom to which they are attached form a C_{1-12} heterocyclic ring optionally comprising one or more additional heteroatoms selected from the group consisting of O, S and N, optionally substituted with one or more substituents represented by R_7 ;

or a pharmaceutically acceptable salt, solvate, or ester thereof.

50. (Currently amended) A compound according to claim 49, wherein R_1 is methyl, ethyl, trifluoromethyl, methoxy, ethoxy, nitro, bromo, fluoro or chloro; wherein R_2 is methyl, ethyl,

4

methoxy, ethoxy, amino, nitro, bromo, fluoro or chloro; and wherein R₃ is hydrogen, methyl, ethyl, methoxy, ethoxy, bromo, fluoro, or chloro.

51.-62. (Cancelled)

- 63. (Previously Presented) A compound according to claim 49, wherein R₇ is halogen, hydroxy, amino, -S(O)₂CH₃, trifluoromethyl, cyano, C₁₋₄hydroxyalkyl, C₁₋₄alkoxy, C₁₋₄alkyl, C₁₋₄alkylthio, C₁₋₄alkylamino, C₁₋₄alkoxycarbonyl, -COOH, -CONH₂, -S(O)₂NH₂, azido, -CONHR' or -CONRR', wherein R and R' are as indicated in claim 49.
- 64. (Previously presented) A compound according to claim 49, wherein R₇ is methyl, ethyl, methoxy, ethoxy, hydroxy, methoxycarbonyl, ethoxycarbonyl, dimethylamino, ethylamino, amino, -COOH, fluoro, chloro, bromo, -CONH₂, -S(O)₂NH₂, azido, methylthio, -S(O)₂CH₃, trifluoromethyl, cyano or hydroxymethyl.
- 65. (Previously Presented) A compound according to claim 49, wherein one of R_5 and R_6 is Y_2R_9 , C_{1-4} alkyl- Y_2R_9 , $Y_2R_9Y_3R_{10}$, C_{1-4} alkyl- $Y_2R_9Y_3R_{10}$, C_{2-4} alkenyl- Y_2R_9 , C_{2-4} alkenyl- $Y_2R_9Y_3R_{10}$, $Y_2R_9-C_{1-4}$ -alkyl- Y_2R_9 , C_{1-4} -alkyl- Y_2R_9 , C_{1-4} -alkyl- C_{1-6} -heterocyclyl, C_{1-4} -alkyl- C_{1-6} -heterocyclyl, C_{1-4} -alkyl- C_{1-6} -heterocyclyl, C_{1-4} -alkyl, C_{2-4} -alkynl, C_{3-6} -carbocyclyl, C_{1-6} -heterocyclyl, C_{1-4} -alkyl substituted by R_7 , C_{2-4} alkenyl, C_{2-4} alkynyl, C_{3-6} -carbocyclyl, C_{1-6} -heterocyclyl, -COOH, C(O)NHOH, or C(O)NHNH2, and the other is hydrogen, halogen, C_{1-4} -alkyl or C_{1-4} -alkoxy; wherein R_9 is C_{1-4} -alkyl- C_{1-6} -heterocyclyl, C_{1-6} -dearbocyclyl, C_{1-6} -dearbocyclyl, C_{1-6} -dearbocyclyl, C_{1-6} -dearbocyclyl- C_{1-6} -alkyl, C_{3-6} -carbocyclyl- C_{1-6} -alkyl, C_{3-6} -carbocyclyl- C_{2-4} -alkynyl, and wherein R_{10} is C_{1-4} -alkyl, C_{2-6} -alkenyl, C_{3-6} -carbocyclyl- C_{2-6} -alkyl, C_{3-6} -carbocyclyl- C_{2-6} -alkyl, C_{3-6} -carbocyclyl or C_{1-6} -heterocyclyl.
- 66. (Previously Presented) A compound according to claim 49, wherein R_5 is Y_2R_9 , $C_{1.4}$ alkyl- Y_2R_9 , Y_2R_9 , Y_3R_{10} , $C_{1.4}$ alkyl- Y_2R_9 , Y_3R_{10} , $C_{2.4}$ alkenyl- Y_2R_9 , $C_{2.4}$ alkenyl- Y_2R_9 , Y_3R_{10} , Y_2R_9 - $C_{1.4}$ -alkyl- Y_3R_{10} , Y_2R_9 - $C_{2.4}$ -alkenyl- Y_3R_{10} , Y_2R_9 - $C_{2.4}$ -alkenyl- Y_3R_{10} , Y_2R_9 - Y_3R_{10} , Y_3R_{10} ,

6heterocyclyl, C₁₋₄alkyl-C₃₋₆carbocyclyl, C₃₋₆carbocyclyl-C₁₋₄alkyl, C₁₋₄alkyl substituted by R₇, C₂₋₄alkenyl, C₂₋₄ alkynyl, C₃₋₆carbocyclyl, C₁₋₆heterocyclyl, -COOH, -C(O)NHOH, or C(O)NHNH₂, and R₆ is hydrogen, halogen, C₁₋₄alkyl or C₁₋₄alkoxy; wherein R₉ is C₁₋₄heterocyclyl, C₁₋₅alkyl, C₁₋₃alkyl-C₁₋₅heterocyclyl, C₆₋₁₀carbocyclyl, C₁₋₃alkyl-C₆carbocyclyl, C₃alkenyl, C₆carbocyclyl-C₁alkyl, C₆carbocyclyl-C₂alkenyl or C₆carbocyclyl-C₂alkynyl; and wherein R₁₀ is methyl, ethyl, methacryl, tert-butyl, tetrahydropyranyl or ethenyl.

- 67. (Previously Presented) A compound according to claim 49, wherein one of R_5 and R_6 is Y_2R_9 , $Y_2R_9Y_3R_{10}$, phenyl, methylphenyl, methyl, propenyl, methyl- Y_2R_9 , tetrazole, ethynyl, triazole, thiadiazole, dihydrooxazole,-COOH, -C(O)NHOH, or C(O)NHNH2, and the other is hydrogen, fluoro, chloro, methyl or methoxy; wherein R_9 is morpholinyl, propylmorpholinyl, piperazinyl, methyl, ethyl, n-propyl, n-butyl, tert-butyl, isobutyl, hexyl, isopropyl, dimethylpropyl, methyltetrahydrofuranyl, methylpyridinyl, ethylpiperazinyl, cyclohexyl, propyloxopyrrolidinyl, benzyl, methylcyclohexyl, propylphenyl, ethylmorpholinyl, allyl, ethylfuranyl, phenyl, methyldioxoimidazolidinyl, dioxohexahydropyrimidinyl, thiazolyl, methylphenyl, ethylphenyl, methyldioxolanyl, methylthiazolyl, propenylphenyl, methylfuranyl, thiophenyl, tetrahydropyranyl or ethynylphenyl; and wherein R_{10} is methyl, ethyl, methacryl, tetr-butyl, tetrahydropyranyl or ethenyl.
- 68. (Previously Presented) A compound according to claim 49, wherein R6 is hydrogen.
- 69. (Previously Presented) A compound according to claim 49, wherein R5 is hydrogen.
- 70. (Previously Presented) A compound according to claim 49, wherein Y₂ is -O-, -NR_a-, -NR_aC(O), -NR_aC(O)-, -NR_aC(O)-, -C(O)NR_aO-, -C(O)-, -NR_aC(O)O-, -NR_aS(O)₂-, -C(O)NR_aNR_b- or -S(O)₂NR_a-.
- 71. (Previously Presented) A compound according to claim 49, wherein Y_3 is -O-, -NR_aC(O)-, -C(O)NR_a-, -C(O)-, -C(O)O- or -NR_aC(O)O-.

72.-76. (Cancelled)

- 77. (Previously Presented) A compound according to claim 49, wherein said heterocycle or heterocyclyl contains one or two oxygen atoms or one sulphur atom, and/or up to two nitrogen atoms, or three or four nitrogen atoms, wherein optionally one or two CH₂ ring fragments is/are replaced by one or two -C(O)- fragments respectively.
- 78. (Previously Presented) A compound according to claim 49, wherein Ra, Rb, or Rc independently represent hydrogen, methyl, ethyl, 2-hydroxyethyl or 2-methoxyethyl.
- 79. (Currently amended) A compound according to claim 49, wherein R_1 is methyl, ethyl, methoxy, ethoxy, bromo, fluoro or chloro; and R_2 is methyl, ethyl, methoxy, ethoxy, nitro, bromo, fluoro or chloro; R_3 represents one substituent which is hydrogen, methyl, ethyl, methoxy, ethoxy, bromo, fluoro or chloro, and wherein R_3 is in the meta position with respect to R_4 and para with respect to NH_3 or wherein R_3 is in the ortho position with respect to R_4 and meta with respect to NH.
- 80. (Currently amended) A compound according to claim 49 selected from the group consisting of
- 3-[2-Chloro-4-(2,4-difluorophenylamino)benzoyl]-N-(2-hydroxyethyl)-4-methylbenzamide (Compound 115),
- 3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-N-(2-hydroxy-ethyl)-4-methyl-benzamide (Compound-120);
- 3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-4,N-dimethyl-benzamide (Compound 121);
- (2-{3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl] 4-methyl-benzoylamino}-acetylamino)-acetic-acid ethyl-ester (Compound 122);

[3 [2 Chloro 4 (4-chloro 2 fluoro phenylamino) benzoyl] 4 methyl-benzoylamino] acetic acid ethyl-ester (Compound 123);

- 3 [2 Chloro 4 (4 chloro 2 fluoro phenylamino) benzoyl] N (2 methoxy-ethyl) 4 methylbenzamide (Compound 124);
- 3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl] N-cyclohexyl-4-methyl-benzamide (Compound 125);
- 3-[2-Chloro-4 (4-chloro-2-fluoro-phenylamino) benzoyl]. N-ethyl-4-methyl-benzamide (Compound-126):
- 3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-N-(6-hydroxy-hexyl)-4-methyl-benzamide (Compound-127);
- 3-[2-Chloro-4 (4-chloro-2-fluoro-phenylamino) benzoyl] N-isopropyl 4 methyl-benzamide (Compound 128);
- 3-[2-Chloro 4-(4-chloro 2-fluoro-phenylamino) benzeyl] N-isobutyl 4-methyl-benzamide (Compound 129).
- 3-[2-Chloro 4 (4-chloro-2-fluoro-phenylamino) benzoyl] N (2,2-dimethyl-propyl) 4 methylbenzamide (Compound 130).
- 3-[2-Chloro-4 (4-chloro-2-fluoro-phenylamino)-benzoyl]-N-(3-methoxy-propyl)-4-methyl-benzamide (Compound 131);
- 3-[2 Chloro 4 (4 chloro 2 fluoro-phenylamino) benzoyl]-4-methyl-N-[3-(2-oxo-pyrrolidin-1-yl)-propyl]-benzamide (Compound 132);
- 3-[2-Chloro-4 (4-chloro-2-fluoro-phenylamino) benzoyl] N (2-dimethylamino-ethyl) 4-methyl-benzamide (Compound 133),
- 2-Methyl-acrylic acid 2- (3-[2-chloro 4 (4-chloro 2-fluoro phenylamino) benzoyl] 4-methylbenzoylamino] ethyl-ester (Compound 134),
- 3-[2-Chloro 4 (4-chloro 2-fluoro-phenylamino) benzoyl] N-cis (4-hydroxy-cyclohexyl) 4-methyl-benzamide (Compound-135);
- 3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl]-N-trans-(4-hydroxy-cyclohexyl)-4methyl-benzamide (Compound 136);

- (2-[3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl] 4-methyl-benzoylamino)-ethylearbamic acid-tert-butyl-ester (Compound 137);
- N (2 Amino ethyl) 3 [2 chloro 4 (4 chloro 2 fluoro phenylamino) benzoyl] 4 methylbenzamide (Compound 138);
- (2-{3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl] 4-methyl-benzoylamino}-acetylamino)-acetic acid (Compound 139);
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2-hydroxy-ethyl)-4-methoxy-benzamide (compound 140),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2,2-difluoro-ethyl)-4-methoxy-benzamide (compound 141).
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2-fluoro-ethyl)-4-methoxy-benzamide (compound 142).
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2,3-dihydroxy-propyl)-4-methoxy-benzamide (compound 143),
- N-Carbamoylmethyl-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methoxy-benzamide (compound 144),
- N-Carbamoylmethyl-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzamide (Compound 145),
- N-Benzyl-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzamide (compound 146),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2-fluoro-ethyl)-4-methyl-benzamide (compound 147),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-N-(2,2,2-trifluoro-ethyl)-benzamide (compound 148),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-ethyl-4-methyl-benzamide (compound 149).
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-cyclohexylmethyl-4-methyl-benzamide (compound 150),

Docket No.: 3893-0220PUS2

3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2-hydroxy-propyl)-4-methyl-benzamide (compound 151),

- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2,3-dihydroxy-propyl)-4-methylbenzamide (compound 152),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(1-hydroxymethyl-propyl)-4-methyl-benzamide (compound 153),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-N-(2,2,3,3,3-pentafluoro-propyl)-benzamide (compound 154),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(3-hydroxy-propyl)-4-methyl-benzamide (compound 155),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-*N*-(2-hydroxy-1,1-dimethyl-ethyl)-4-methyl-benzamide (compound 156),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2-hydroxy-1-hydroxymethyl-1-methyl-ethyl)-4-methyl-benzamide (compound 157),
- {3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-acetic acid ethyl ester (compound 158),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(4-hydroxy-butyl)-4-methyl-benzamide (compound 159).
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(3-hydroxy-1,1-dimethyl-butyl)-4-methyl-benzamide (compound 160),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-N-(3-phenyl-propyl)-benzamide (compound 161),
- (R)-3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(1-hydroxymethyl-3-methyl-butyl)-4-methyl-benzamide (compound 162),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-isopropyl-4-methyl-benzamide (compound 164),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-cyclohexyl-4-methyl-benzamide (compound 165),

3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2,2-difluoro-ethyl)-4-methyl-benzamide (compound 166),

- 5-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-4-oxopentanoic acid methyl ester (compound 167),
- N-[(2-Carbamoyl-ethylcarbamoyl)-methyl]-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzamide (compound 168),
- (2-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-acetylamino)-acetic acid ethyl ester (compound 169),
- N-Allyl-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzamide (compound 170).
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-N-(2-sulfamoyl-ethyl)-benzamide (compound 171),
- N-(2-Acetylamino-ethyl)-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methylbenzamide (compound 172).
- 3 [2 Chloro 4 (2,6 difluoro phenylamino) benzoyl] N (2 hydroxy-ethyl) 4-methoxy-benzamide (compound 173).
- 3 [2 Chloro 4 (2,6 diffuoro-phenylamino) benzoyl] N (2 fluoro-ethyl) 4 methoxy benzamide (compound 174),
- 3-[2-Chloro 4 (2,6-difluoro-phenylamino)-benzoyl]-N (2,3-dihydroxy-propyl)-4-methoxybenzamide (compound-175);
- 3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]. N (3-hydroxy-propyl) 4-methoxybenzamide (compound-176);
- 3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-4-methoxy-N-phenethyl-benzamide (compound 1777);
- 3-[2-Chloro 4 (2,6-difluoro-phenylamino)-benzoyl]-N (2-hydroxy-1,1-dimethyl-ethyl)-4-methoxy-benzamide (compound 178);
- 3-[2-Chloro-4-(2,6-difluoro-phenylamino) benzoyl] 4-methoxy-N (2-morpholin-4-yl-ethyl)-benzamide (compound 179);

3-[2-Chloro-4 (2,6-difluoro-phenylamino) benzoyl]-N (2-hydroxy-1-hydroxymethyl-1-methylethyl)-4-methoxy-benzamide (compound 180);

- 3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl] N-(2-hydroxy-ethyl)-4-methoxy-N-methylbenzamide-(compound-181).
- (3-[2-Chloro-4 (2,6-difluoro-phenylamino) benzoyl] 4-methoxy-benzoylamino) acetic acid ethyl ester (compound 182);
- (2-{3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-4-methoxy-benzoylamino}-acetylamino)-acetic-acid-ethyl-ester (compound 183),
- 3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-N,N-bis-(2-hydroxy-ethyl)-4-methoxy-benzamide (compound 184);
- 3-[2-Chloro-4-(2,6-difluoro-phenylamino)-benzoyl]-4-methoxy-N,N-bis-(2-methoxy-ethyl)-benzamide (compound-185);
- 4-Chloro-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2-hydroxy-ethyl)-benzamide (compound 204),
- N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-methoxy-propionamide (compound 241),
- N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-propionamide (compound 242).
- N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-2-(2-methoxy-ethoxy)-acetamide (compound 243),
- N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-morpholin-4-yl-propionamide (compound 244),
- N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-hydroxypropionamide (compound 245),
- N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-furan-2-yl-propionamide (compound 246),
- N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-2-hydroxy-benzamide (compound 247),

 $N-\{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl\}-2-(2,5-dioxo-imidazolidin-4-yl)-acetamide (compound 248),$

2,6-Dioxo-hexahydro-pyrimidine-4-carboxylic acid {3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-amide (compound 249),

Acrylic acid 2-{3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenylcarbamoyl}-ethyl ester (compound 250),

N-{3-[2-Chloro-4-(2,4-diffuoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-methylsulfanyl-propionamide (compound 251),

N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-methanesulfonyl-propionamide (compound 252),

Ethanesulfonic acid {3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-amide (compound 253),

N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-4-methoxy-benzenesulfonamide (compound 254),

N-(5-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenylsulfamoyl}-4-methyl-thiazol-2-vl)-acetamide (compound 255).

5-Acetyl-2-chloro-N-{3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-benzenesulfonamide (compound 256),

Naphthalene-2-sulfonic acid {3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-amide (compound 257),

N-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-C-phenyl-methanesulfonamide (compound 258),

2-Methyl-acrylic acid 2-(3-{3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methylphenyl}-ureido)-ethyl ester (compound 259),

1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-(2-hydroxy-ethyl)-urea (compound 260),

(3-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-ureido)-acetic acid ethyl ester (compound 261),

- 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-(3-methoxy-phenyl)-urea (compound 262),
- 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-(3-trifluoromethyl-phenyl)-urea (compound 263),
- 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-propyl-urea (compound 264),
- 3-(3-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-ureido)-propionic acid ethyl ester (compound 265),
- 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-cyclohexyl-urea (compound 266),
- 1-Allyl-3-{3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-urea (compound 267).
- 1-Benzyl-3-{3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-urea (compound 268),
- 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-ethyl-urea (compound 269),
- 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-phenyl-urea (compound 270),
- 1-Butyl-3-{3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-urea (compound 271),
- 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-phenethyl-urea (compound 272),
- $\label{eq:compound} 2-(3-\{3-[2-Chloro-4-(2,4-diffuoro-phenylamino)-benzoyl]-4-methyl-phenyl\}-ureido)-benzoic acid methyl ester (compound 273),$
- 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-(3-cyano-phenyl)-urea (compound 274),
- 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-isopropyl-urea (compound 275).

- 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-(4-methoxy-phenyl)-urea (compound 276),
- {3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-carbamic acid benzyl ester (compound 277).
- {3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-carbamic acid allyl ester (compound 278),
- {3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-carbamic acid ethyl ester (compound 279),
- [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(3-hydroxy-butylamino)-2-methyl-phenyl]-methanone (compound 281),
- [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-(3'-hydroxymethyl-4-methyl-biphenyl-3-yl)-methanone (compound 282),
- [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-(3'-hydroxy-4-methyl-biphenyl-3-yl)-methanone (compound 283).
- [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-(4'-methoxy-4-methyl-biphenyl-3-yl)-methanone (compound 284),
- $N-{3'-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4'-methyl-biphenyl-3-yl}-acetamide (compound 285),$
- [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-(4-methyl-3'-trifluoromethoxy-biphenyl-3-yl)-methanone (compound 286).
- [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-(3',4',5'-trifluoro-4-methyl-biphenyl-3-yl)-methanone (compound 288),
- [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-(3',4'-dimethoxy-4-methyl-biphenyl-3-yl)-methanone (289),

15

- 3'-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4'-methyl-biphenyl-3-carbonitrile (compound 290),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2-hydroxy-ethyl)-4-methylbenzenesulfonamide (compound 291),

Docket No.: 3893-0220PUS2

3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-*N*-(2-morpholin-4-yl-ethyl)-benzenesulfonamide (compound 292),

- N-Allyl-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzenesulfonamide (compound 293),
- N-(2-(3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzenesulfonylamino}-ethyl)-acetamide (compound 294),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-N-propyl-benzenesulfonamide (compound 295),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2,3-dihydroxy-propyl)-4-methylbenzenesulfonamide (compound 296),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2-methoxy-ethyl)-4-methyl-benzenesulfonamide (compound 297),
- [4-(2,4-Difluoro-phenylamino)-2-nitro-phenyl]-[5-(4-methoxy-benzyloxy)-2-methyl-phenyl]-methanone (Compound 306),
- [4-(2,4-Difluoro-phenylamino)-2-nitro-phenyl]-[5-(3-hydroxy-propoxy)-2-methyl-phenyl]-methanone (Compound 307),
- [2-Amino-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(3-hydroxy-propoxy)-2-methyl-phenyl]-methanone (compound 308),
- [4-(2,4-Difluoro-phenylamino)-2-nitro-phenyl]-[2-methyl-5-(2-morpholin-4-yl-ethoxy)-phenyl]-methanone (compound 309),
- [2-Amino-4-(2,4-difluoro-phenylamino)-phenyl]-[2-methyl-5-(2-morpholin-4-yl-ethoxy)-phenyl]-methanone (compound 310),
- [4-(2,4-Difluoro-phenyllamino)-2-nitro-phenyl]-[5-(2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy)-2-methyl-phenyl]-methanone (compound 311),
- [4-(2,4-Difluoro-phenylamino)-2-nitro-phenyl]-[5-(2,3-dihydroxy-propoxy)-2-methyl-phenyl]-methanone (compound 312),
- [2-Amino-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(2,3-dihydroxy-propoxy)-2-methyl-phenyl]-methanone (compound 313),

[2-Chloro-4-(2,4-diffuoro-phenylamino)-phenyl]-[2-fluoro-5-(3-hydroxy-propoxy)-phenyl]methanone (compound 314),

[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(2,2-dimethyl-[1,3]dioxolan-4-ylmethoxy)-2-fluoro-phenyl]-methanone (compound 315),

[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(2,3-dihydroxy-propoxy)-2-fluoro-phenyl]methanone (Compound 316),

[2-Chloro-4-(2,6-diffuoro-phenylamino)-phenyl]-[2-chloro-5-(2-morpholin-4-yl-ethoxy)-phenyl]-methanone (compound-328);

(±) [2 Chloro 4 (2,6 diffuoro-phenylamino) phenyl] [2 chloro 5 (2,3 dihydroxy-propoxy)-phenyl] methanone (compound 329),

[5-(3-Bromo-propoxy)-2-chloro-phenyl]-[2-chloro-4-(2,6-difluoro-phenylamino)-phenyl]methanone (compound 330);

[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-(5-hydroxymethyl-2-methyl-phenyl)-methanone (compound 331).

[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-(5-chloromethyl-2-methyl-phenyl)-methanone (compound 332),

(5-Azidomethyl-2-methyl-phenyl)-[2-chloro-4-(2,4-difluoro-phenylamino)-phenyl]-methanone (compound 333),

(5-Aminomethyl-2-methyl-phenyl)-[2-chloro-4-(2,4-difluoro-phenylamino)-phenyl]-methanone (compound 334).

[2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-(5-hydroxymethyl-2-methoxy-phenyl)-methanone (compound 335),

Acetic acid 3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methoxy-benzyl ester (compound 336),

N-tert-Butoxy-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methoxy-benzamide (compound 337),

3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-methoxy-4-methyl-benzamide (compound 338).

- N-Butoxy-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzamide (compound 339).
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-cyclohexylmethoxy-4-methyl-benzamide (compound 340).
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-N-(2-methyl-thiazol-4-ylmethoxy)-benzamide (compound 341),
- N-benzyloxy-3-[2-chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzamide (compound 342),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(4-methoxy-benzyloxy)-4-methyl-benzamide (compound 343),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoic acid N',N'-dimethyl-hydrazide (compound 344),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-N-morpholin-4-yl-benzamide (compound 345),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-hydroxy-4-methyl-benzamide (compound 346).
- 4-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(2-hydroxy-ethyl)-3-methyl-benzamide (compound 347),
- [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(3-hydroxy-propenyl)-2-methyl-phenyl]-methanone (compound 348),
- 4-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-thiophene-3-carboxylic acid methyl ester (compound 349),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-furan-2-ylmethyl-4-methyl-benzamide (compound 350),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-(3-methoxy-phenyl)-4-methyl-benzamide (compound 351),
- 2-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-benzoic acid methyl ester (compound 352).

Docket No.: 3893-0220PUS2

3-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-thiophene-2-carboxylic acid methyl ester (compound 353),

- 4-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-thiophene-3-carboxylic acid (compound 354),
- 2-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-benzoic acid (compound 355),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-N-[2-(2-hydroxy-ethylcarbamoyl)-phenyl]-4-methyl-benzamide (compound 356),
- 3-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoylamino}-thiophene-2-carboxylic acid (2-hydroxy-ethyl)-amide (compound 357),
- [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-(5-ethynyl-2-methyl-phenyl)-methanone (compound 362),
- 3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoic acid hydrazide (compound 364),
- 1-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-benzoyl}-4-ethyl-3-thio semicarbazide (compound 365),
- [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(5-ethylamino-[1,3,4]thiadiazol-2-yl)-2-methyl-phenyl]-methanone (compound 366),
- [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[2-methyl-5-(1H-tetrazol-5-yl)-phenyl]-methanone (compound 367),
- 3-{3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methyl-phenyl}-3-oxo-propionic acid ethyl ester (compound 368),
- [2-Chloro-4-(2,4-difluoro-phenylamino)-phenyl]-[5-(4,5-dihydro-oxazol-2-yl)-2-methyl-phenyl]-methanone (compound 369),
- 3-[2-Chloro-4-(2,4-difluorophenylamino)benzoyl]-4-methylbenzoic acid (Compound 424),
- 2-Methylacrylic acid 2-{3-[2-chloro-4-(2,4-difluorophenylamino)benzoyl]-4-methylbenzoylamino}ethyl ester (Compound 425)
- 3-[2-Chloro-4-(4-chloro-2-fluoro-phenylamino)-benzoyl] 4-methyl-benzoic acid (Compound 432);

3-[2-Chloro-4-(2,4-difluoro-phenylamino)-benzoyl]-4-methoxy-benzoic acid (compound 437),
3-12-Chloro-4 (2.6-difluoro-phenylamino)-benzoyl]-4-methoxy-benzoic acid (compound 443);

81. (Previously Presented) A pharmaceutical composition comprising a compound according to claim 49 or a pharmaceutically acceptable salt or ester thereof together with a pharmaceutically acceptable vehicle or excipient.

82. (Cancelled)

83. (Withdrawn) A method of preventing, treating or ameliorating inflammatory diseases or conditions, or ophthalmic diseases or conditions, the method comprising administering to a patient in need thereof an effective amount of a compound according to claim 49, wherein the inflammatory or ophthalmic disease or condition is selected from the group consisting of asthma, allergy, arthritis, rheumatoid arthritis, spondyloarthritis, gout, atherosclerosis, chronic inflammatory bowel disease, Crohn's disease, neurological inflammations, inflammatory eye diseases, proliferative and inflammatory skin disorders, psoriasis, atopic dermatitis, acne, uveitis, sepsis, septic shock or acne, and osteoporosis.

84.-87. (Cancelled)

88. (Withdrawn) A method for producing a compound of general structure I,

$$\begin{matrix} R_5 \\ R_6 \end{matrix} \qquad \begin{matrix} R_2 \\ R_1 \end{matrix} \qquad \begin{matrix} R_2 \\ R_4 \end{matrix}$$

wherein R_1 , R_2 , R_3 , R_4 , R_5 , and R_6 are defined as in claim 49, comprising the steps of a) transforming a compound general structure VI,

wherein Hal is a halogen, and R_1 , R_5 and R_6 are defined as in claim 49, each of which are independently protected or unprotected, into an organometallic intermediate;

- b) transmetalating said organometallic intermediate to an organozinc intermediate;
- c) coupling said organozinc intermediate with an acid halide of general structure V,

wherein R_2 is defined as in claim 49, protected or unprotected, in the presence of a catalyst to give a compound of general structure IV,

$$R_{\overline{5}}$$
 $R_{\overline{6}}$
 $R_{\overline{1}}$
 $R_{\overline{1}}$
 $R_{\overline{1}}$
 $R_{\overline{1}}$

wherein R_1 , R_2 , R_3 , and R_6 are defined as above, each of which are independently protected or unprotected:

 d) optionally transforming, protecting or deprotecting one or more substituents or functional groups of R₁, R₂, R₅, and R₆ of the compound of general structure IV to give another compound of general structure IV;

e) reducing the compound of general structure IV from step c) or d) to an amine of general structure III,

wherein R_1 , R_2 , R_5 , and R_6 are defined as above, each of which are independently protected or unprotected:

f) optionally transforming, protecting or deprotecting one or more substituents or functional groups of R₁, R₂, R₅, and R₆ of the compound of general structure III to give another compound of general structure III;

g) coupling of the amine of general structure III from step e) or f) with a compound of general structure II.

wherein L is triflate or halogen, R_3 and R_4 are defined in claim 49, each of which are independently protected or unprotected, to give a compound of general structure I, wherein R_1 , R_2 , R_3 , R_4 , R_5 , and R_6 are defined as above, each of which are independently protected or unprotected;

h) optionally transforming, protecting or deprotecting one or more substituents or functional groups of R₁, R₂, R₃, R₄, R₅, or R₆ of the compound of general structure I from step g) to give a another compound of general structure I.

89. (Withdrawn) A method for producing a compound of general structure I,

$$R_{6}$$
 R_{1}
 R_{2}
 R_{3}

wherein R_1 , R_2 , R_3 , R_4 , R_5 , and R_6 are defined as in claim 49, comprising the steps of a) transforming a compound general structure VIIa,

wherein Hal is halogen, W is halogen or triflate, and R₂ is as defined in claim 49, protected or unprotected, into an organometallic intermediate;

- b) transmetalating said organometallic intermediate to an organozinc intermediate;
- c) coupling said organozinc intermediate with an acid halide of general structure VIII,

wherein R₁, R₅, and R₆ are as defined in claim 49, each of which are independently protected or unprotected, in the presence of a catalyst to give a compound of general structure IIIa,

23 ADM/mao

Docket No.: 3893-0220PUS2

wherein W, R₁, R₂, R₅, and R₆ are defined as above, each of which are independently protected or unprotected:

 d) optionally transforming, protecting or deprotecting one or more substituents or functional groups of W, R₁, R₂, R₅, and R₆ of the compound of general structure IIIa to give another compound of general structure IIIa;

e) coupling of the compound of general structure IIIa from step c) or d) with an amine of general structure IIa,

wherein R_3 and R_4 are defined as in claim 49, each of which are independently protected or unprotected, to give a compound of general structure I,

wherein R₁, R₂, R₃, R₄, R₅, and R₆ are defined as above, each of which are independently protected or unprotected;

f) optionally transforming, protecting or deprotecting one or more substituents or functional groups of R₁, R₂, R₃, R₄, R₅, or R₆ of the compound of general structure I from step e) to give another compound of general structure I.

90. (Cancelled)

91. (Previously Presented) A composition according to claim 81 further comprising another active component selected from the group consisting of glucocorticoids, vitamin D analogues, anti-histamines, platelet activating factor (PAF) antagonists, anticholinergenic agents, methyl xanthines, β-adregenic agents, COX-2 inhibitors, salicylates, indomethacin, flufenamate, naproxen, timegadine, gold salts, peniciliamine, serum cholesterol reducing agents, retinoids, zinc salts and salicylazosulfapyridin.

92. (Withdrawn) A method of preventing, treating or ameliorating acute macular degeneration or age-related macular degeneration, the method comprising administering to a patient in need thereof an effective amount of a compound according to claim 49.